In the Claims

The listing of claims will replace all prior versions and listings of claims in the application.

Listings of claims

1. (Original) A compound of the formula (I), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolysable ester thereof,

$$C$$
 R_1b

wherein C is selected from D and E,

wherein in D and E the phenyl ring is attached to the oxazolidinone in (I); $R_1 b$ is HET1 or HET2, wherein

i) HET1 is an N-linked 5-membered, fully or partially unsaturated heterocyclic ring, containing either (i) 1 to 3 further nitrogen heteroatoms or (ii) a further heteroatom selected from O and S together with an optional further nitrogen heteroatom; which ring is optionally substituted on a C atom, other than a C atom adjacent to the linking N atom, by an oxo or thioxo group; and/or which ring is optionally substituted on any available C atom, other than a C atom adjacent to the linking N atom, by a substituent selected from RT as hereinafter defined and/or on an available nitrogen atom, other than a N atom adjacent to the linking N atom, (provided that the ring is not thereby quaternised) by (1-4C)alkyl;

ii) HET2 is an N-linked 6-membered di-hydro-heteroaryl ring containing up to three nitrogen heteroatoms in total (including the linking heteroatom), which ring is substituted on a suitable C atom, other than a C atom adjacent to the linking N atom, by oxo or thioxo and/or which ring is optionally substituted on any available C atom, other than a C atom adjacent to the linking N atom, by one or two substituents independently selected from RT as hereinafter defined and/or on an available nitrogen atom, other than a N atom adjacent to the linking N atom, (provided that the ring is not thereby quaternised) by (1-4C)alkyl;

RT is selected from a substituent from the group:

(RTa1) hydrogen, halogen, (1-4C)alkoxy, (2-4C)alkenyloxy, (2-4C)alkenyl, (2-4C)alkynyl, (3-6C)cycloalkyl, (3-6C)cycloalkenyl, (1-4C)alkylthio, amino, azido, cyano and nitro; or

(RTa2) (1-4C)alkylamino, di-(1-4C)alkylamino, and (2-4C)alkenylamino; or RT is selected from the group

(RTb1) (1-4C)alkyl group which is optionally substituted by one substituent selected from hydroxy, (1-4C)alkoxy, (1-4C)alkylthio, cyano and azido; or

(RTb2) (1-4C)alkyl group which is optionally substituted by one substituent selected from (2-4C)alkenyloxy, (3-6C)cycloalkyl,and (3-6C)cycloalkenyl; or RT is selected from the group

(RTc) a fully saturated 4-membered monocyclic ring containing 1 or 2 heteroatoms independently selected from O, N and S (optionally oxidised), and linked via a ring nitrogen or carbon atom;

and wherein at each occurrence of an RT substituent containing an alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl moiety in (RTa1) or (RTa2), (RTb1) or (RTb2), or (RTc) each such moiety is optionally substituted on an available carbon atom with one, two, three or more substituents independently selected from F, CI, Br, OH and CN;

 R_2 a and R_6 a are independently selected from H, CF_3 , OMe, SMe, Me and Et; R_2 b and R_6 b are independently selected from H, F, Cl, CF_3 , OMe, SMe, Me and Et; R_3 a is selected from H, (1-4C)alkyl, cyano, Br, F, Cl, OH, (1-4C)alkoxy, -S(O)_n(1-4C)alkyl (wherein n = 0,1,or 2), amino, (1-4C)alkylcarbonylamino, nitro, -CHO, -CO(1-4C)alkyl, -CONH₂ and -CONH(1-4C)alkyl;

R4 is selected from R4a and R4b wherein

R4a is selected from azido, -NR7R8, OR10, (1-4C)alkyl, (1-4C)alkoxy, (1-4C)cycloalkyl, -(CH2)_k-R9, AR1, AR2, (1-4C)acyl, -CS(1-4C)alkyl, -C(=W)NRvRw [wherein W is O or S, Rv and Rw are independently H, or (1-4C)alkyl], -(C=O)_rR6, -COO(1-4C)alkyl, -C=OAR1, -C=OAR2, -COOAR1, S(O)n(1-4C)alkyl (wherein n = 1 or 2), -S(O)pAR1, -S(O)pAR2 and -C(=S)O(1-4C)alkyl; wherein any (1-4C)alkyl chain may be optionally substituted by (1-4C)alkyl, cyano, hydroxy or halo; p = 0,1 or 2;

R4b is selected from HET-3;

R6 is selected from hydrogen, (1-4C)alkoxy, amino, (1-4C)alkylamino and hydroxy(1-4C)alkylamino;

k is 1 or 2;

I is 1 or 2;

R7 and R8 are independently selected from H and (1-4C)alkyl, or wherein R7 and R8 taken together with the nitrogen to which they are attached can form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O)n (wherein n = 1 or 2) in place of 1 carbon atom of the so formed ring; wherein the ring may be optionally substituted by one or two groups independently selected from (1-4C)alkyl, (1-4C)cycloalkyl, (1-4C)acyl, -COO(1-4C)alkyl, S(O)n(1-4C)alkyl (wherein n = 1 or 2), AR1, AR2, , -C=OAR1, -C=OAR2, -COOAR1, -CS(1-4C)alkyl, -C(=S)O(1-4C)alkyl, -C(=W)NRvRw [wherein W is O or S, Rv and Rw are independently H, or (1-4C)alkyl], -S(O)pAR1 and -S(O)pAR2; wherein any (1-4C)alkyl, (1-4C)cycloalkyl or (1-4C)acyl group may be optionally substituted (except on a carbon atom adjacent to a heteroatom) by one or two substituents selected from (1-4C)alkyl, cyano, hydroxy, halo, amino, (1-4C)alkylamino and di(1-4C)alkylamino; p = 0,1 or 2; R9 is independently selected from R9a to R9d below:

R9a: AR1, AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4, AR4a, CY1, CY2;

R9b: cyano, carboxy, (1-4C)alkoxycarbonyl, -C(=W)NRvRw [wherein W is O or S, Rv and Rw are independently H, or (1-4C)alkyl and wherein Rv and Rw taken together with the amide or thioamide nitrogen to which they are attached can form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O)n in place of 1 carbon atom of the so formed ring; wherein when said ring is a piperazine ring, the ring may be optionally substituted on the additional nitrogen by a group selected from (1-4C)alkyl, (1-4C)cycloalkyl, (1-4C)acyl, -COO(1-4C)alkyl, S(O)n(1-4C)alkyl (wherein n = 1 or 2), -COOAR1, -CS(1-4C)alkyl and -C(=S)O(1-4C)alkyl; wherein any alkyl, acyl or cycloalkyl may itself optionally be substituted by cyano, hydroxy or halo)], ethenyl, 2-(1-4C)alkylethenyl, 2-cyanoethenyl, 2-cyano-2-((1-4C)alkyl)ethenyl, 2-nitro-2-((1-4C)alkyl)ethenyl, 2-(1-4C)alkyl)ethenyl, 2-(1-4C)alkyl

2-((1-4C)alkoxycarbonyl)ethenyl, 2-(AR1)ethenyl, 2-(AR2)ethenyl, 2-(AR2a)ethenyl;

R9c: (1-6C)alkyl

{optionally substituted by one or more groups (including geminal disubstitution) each independently selected from hydroxy, (1-10C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkylcarbonyl, phosphoryl [-O-P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiryl [-O-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], and amino; and/or optionally substituted by one group selected from carboxy, phosphonate [phosphono, -P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphinate [-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], cyano, halo, trifluoromethyl, (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkylamino,

di((1-4C)alkyl)amino, (1-6C)alkanoylamino-, (1-4C)alkoxycarbonylamino-, N-(1-4C)alkyl-N-(1-6C)alkanoylamino-, -C(=W)NRvRw [wherein W is O or S, Rv and Rw are as hereinbefore defined], (=NORv) wherein Rv is as hereinbefore defined, (1-4C)alkylS(O) $_p$ NH, (1-4C)alkylS(O) $_p$ -((1-4C)alkyl)N-, fluoro(1-4C)alkylS(O) $_p$ NH-,

fluoro(1-4C)alkylS(O) $_p$ ((1-4C)alkyl)N-, (1-4C)alkylS(O) $_q$ -, CY1, CY2, AR1, AR2, AR3, AR1-O-, AR2-O-, AR3-O-, AR1-S(O) $_q$ -, AR2-S(O) $_q$ -, AR3-S(O) $_q$ -, AR1-NH-, AR2-NH-, AR3-NH-(p is 1 or 2 and q is 0, 1 or 2), and also AR2a, AR2b, AR3a and AR3b versions of AR2 and AR3 containing groups}; wherein any (1-4C)alkyl present in any substituent on R9c may itself be substituted by one or two groups independently selected from cyano, hydroxy, halo, amino, (1-4C)alkylamino and di(1-4C)alkylamino, provided that such a substituent is not on a carbon adjacent to a heteroatom atom if present;

R9d: R14C(O)O(1-6C)alkyl- wherein R14 is AR1, AR2, (1-4C)alkylamino, benzyloxy-(1-4C)alkyl or (1-10C)alkyl {optionally substituted as defined for (R9c)};
R10 is selected from hydrogen, R9c (as hereinbefore defined), (1-4C)acyl and (1-4C)alkylsulfonyl;

HET-3 is selected from:

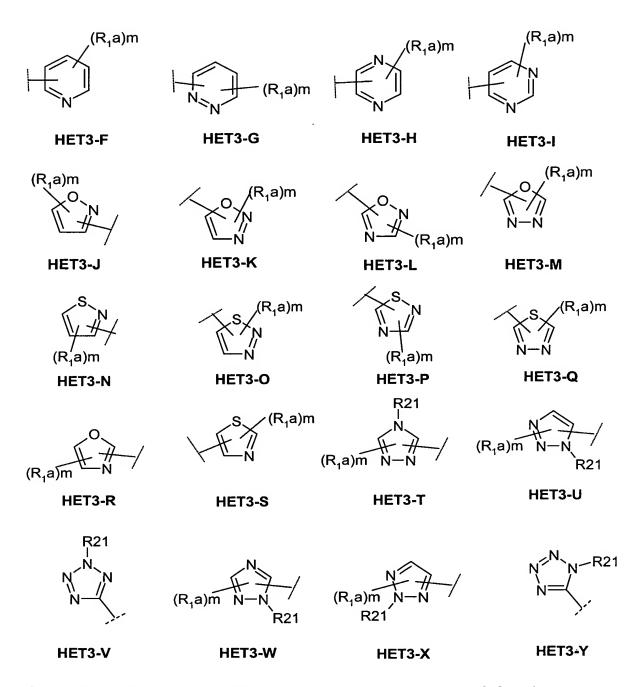
a) a 5-membered heterocyclic ring contining at least one nitrogen and/or oxygen in which any carbon atom is a C=O, C=N, or C=S group, wherein said ring is of the formula HET3-A to HET3-E below:

HET3-D

R22

$$R_{1}a$$
 $R_{1}a$
 $R_{2}a$
 $R_{1}a$
 $R_{2}a$
 $R_{1}a$
 $R_{2}a$
 $R_{2}a$
 $R_{2}a$
 $R_{2}a$
 $R_{2}a$
 $R_{3}a$
 $R_{4}a$
 $R_{2}a$
 $R_{2}a$
 $R_{3}a$
 $R_{4}a$
 $R_{4}a$

b) a carbon-linked 5- or 6-membered heteroaromatic ring containing 1, 2, 3, or 4 heteroatoms independently selected from N, O and S selected from HET3-F to HET3-Y below:



c) a nitrogen-linked 5- or 6-membered heteroaromatic ring containing 1, 2, 3, or 4 heteroatoms independently selected from N, O and S selected from HET3-Z to HET3-AH below:

$$(R_1a)m$$
 $N-N$
 $(R_1a)m$
 $N-N$
 $(R_1a)m$
 $N-N$
 $(R_1a)m$
 $N-N$
 $(R_1a)m$
 $(R_1a)m$

wherein in HET-3, R₁a is a substituent on carbon;

R₁a is independently selected from R₁a1 to R₁a5 below:

R₁a1: AR1, AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4, AR4a, CY1, CY2;

 R_1a2 : cyano, carboxy, (1-4C)alkoxycarbonyl, -C(=W)NRvRw [wherein W is O or S, Rv and Rw are independently H, or (1-4C)alkyl and wherein Rv and Rw taken together with the amide or thioamide nitrogen to which they are attached can form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O)n in place of 1 carbon atom of the so formed ring; wherein when said ring is a piperazine ring, the ring may be optionally substituted on the additional nitrogen by a group selected from (1-4C)alkyl, (1-4C)cycloalkyl, (1-4C)acyl, -COO(1-4C)alkyl, S(O)n(1-4C)alkyl (wherein n = 1 or 2), -COOAR1, -CS(1-4C)alkyl) and -C(=S)O(1-4C)alkyl; wherein any (1-4C)alkyl, (1-4C)acyl and (1-4C)cycloalkyl substituent may itself be substituted by cyano, hydroxy or halo, provided that, such a substituent is not on a carbon adjacent to a nitrogen atom of the piperazine ring], ethenyl, 2-(1-4C)alkylethenyl, 2-cyanoethenyl, 2-cyano-2-((1-4C)alkyl)ethenyl, 2-nitroethenyl, 2-nitro-2-((1-4C)alkyl)ethenyl, 2-((1-4C)alkylaminocarbonyl)ethenyl, 2-((1-4C)alkoxycarbonyl)ethenyl, 2-(AR1)ethenyl, 2-(AR2)ethenyl, 2-(AR2a)ethenyl; R_1a3 : (1-10C)alkyl

{optionally substituted by one or more groups (including geminal disubstitution) each independently selected from hydroxy, (1-10C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkylcarbonyl, phosphoryl [-O-P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiryl [-O-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], and amino; and/or optionally substituted by one group selected from carboxy, phosphonate [phosphono, -P(O)(OH)₂, and mono- and

di-(1-4C)alkoxy derivatives thereof], phosphinate [-P(OH)2 and mono- and di-(1-4C)alkoxy derivatives thereof], cyano, halo, trifluoromethyl, (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkylamino, di((1-4C)alkyl)amino, (1-6C)alkanoylamino-, (1-4C)alkoxycarbonylamino-, N-(1-4C)alkyl-N-(1-6C)alkanoylamino-, -C(=W)NRvRw [wherein W is O or S, Rv and Rw are independently H, or (1-4C)alkyl and wherein Rv and Rw taken together with the amide or thioamide nitrogen to which they are attached can form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O)n in place of 1 carbon atom of the so formed ring; wherein when said ring is a piperazine ring, the ring may be optionally substituted on the additional nitrogen by a group selected from (1-4C)alkyl, (1-4C)cycloalkyl, (1-4C)acyl, -COO(1-4C)alkyl, S(O)n(1-4C)alkyl (wherein n = 1 or 2), -COOAR1, -CS(1-4C)alkyl and -C(=S)O(1-4C)alkyl, (=NORv) wherein Rv is as hereinbefore defined, (1-4C)alkylS(O)pNH-, (1-4C)alkylS(O)p-((1-4C)alkyl)N-, fluoro(1-4C)alkylS(O)pNH-, fluoro(1-4C)alkylS(O)p((1-4C)alkyl)N-, (1-4C)alkylS(O)q-, CY1, CY2, AR1, AR2, AR3, AR1-O-, AR2-O-, AR3-O-, AR1-S(O)_a-, AR2-S(O)_a-, AR3-S(O)_a-, AR1-NH-, AR2-NH-, AR3-NH- (p is 1 or 2 and q is 0, 1 or 2), and also AR2a, AR2b, AR3a and AR3b versions of AR2 and AR3 containing groups); wherein any (1-4C)alkyl, (1-4C)acyl and (1-4C)cycloalkyl present in any substituent on R₁a3 may itself be substituted by one or two groups independently selected from cyano, hydroxy, halo, amino, (1-4C)alkylamino and di(1-4C)alkylamino, provided that such a substituent is not on a carbon adjacent to a heteroatom atom if present;

R₁a4: R14C(O)O(1-6C)alkyl- wherein R14 is AR1, AR2, AR2a, AR2b, (1-4C)alkylamino, benzyloxy-(1-4C)alkyl or (1-10C)alkyl {optionally substituted as defined for (R₁a3)}; R₁a5: F, Cl, hydroxy, mercapto, (1-4C)alkylS(O)p- (p = 0,1 or 2), -NR7R8 (wherein R7 and R8 are as hereinbefore defined) or -OR10 (where R10 is as hereinbefore defined); m is 0, 1 or 2;

R21 is selected from hydrogen, methyl [optionally substituted with cyano, trifluoromethyl, -C=WNRvRw (where W, Rv and Rw are as hereinbefore defined for R1a3), (1-4C)alkoxycarbonyl, (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxycarbonyl, CY1, CY2, AR1, AR2, AR2a, AR2b (not linked through nitrogen) or AR3], (2-10C)alkyl [optionally substituted other than on a carbon attached to the HET-3 ring nitrogen with one or two groups independently selected from the optional subsituents defined for R₁a3] and R14C(O)O(2-6C)alkyl-,wherein R14 is as defined hereinbefore for R₁a4 and wherein R14C(O)O group is attached to a carbon other than the carbon attached to the HET-3 ring nitrogen;

R22 is cyano, -COR12, -CONHR12, -CON(R12)(R13), -SO₂R12 (provided that

R12 is not hydrogen), -SO₂NHR12, -SO₂N(R12)(R13) or NO₂, wherein R12 and R13 are as defined hereinbelow;

R12 and R13 are independently selected from hydrogen, phenyl (optionally substituted with one or more substituents selected from halogen, (1-4C)alkyl and (1-4C)alkyl substituted with one, two, three or more halogen atoms) and (1-4C)alkyl (optionally substituted with one, two, three or more halogen atoms), or for any N(R12)(R13) group, R12 and R13 may be taken together with the nitrogen to which they are attached to form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O)n in place of 1 carbon atom of the so formed ring; wherein the ring may be optionally substituted by one or two groups independently selected from (1-4C)alkyl (optionally substituted on a carbon not adjacent to the nitrogen by cyano, hydroxy or halo), (1-4C)cycloalkyl, (1-4C)acyl, -COO(1-4C)alkyl, S(O)n(1-4C)alkyl (wherein n = 1 or 2), AR1, AR2, , -C=OAR1, -C=OAR2, -COOAR1, -CS(1-4C)alkyl, -C(=S)O(1-4C)alkyl, -C(=W)NRvRw [wherein W is O or S, Rv and Rw are independently H, or (1-4C)alkyl], -S(O)pAR1 and -S(O)pAR2; wherein any (1-4C)alkyl chain may be optionally substituted by (1-4C)alkyl, cyano, hydroxy or halo; p = 0,1 or 2;

AR1 is an optionally substituted phenyl or optionally substituted naphthyl;

AR2 is an optionally substituted 5- or 6-membered, fully unsaturated monocyclic heteroaryl ring containing up to four heteroatoms independently selected from O, N and S (but not containing any O-O, O-S or S-S bonds), and linked via a ring carbon atom, or a ring nitrogen atom if the ring is not thereby quaternised;

AR2a is a partially hydrogenated version of AR2, linked via a ring carbon atom or linked via a ring nitrogen atom if the ring is not thereby quaternised;

AR2b is a fully hydrogenated version of AR2, linked via a ring carbon atom or linked via a ring nitrogen atom;

AR3 is an optionally substituted 8-, 9- or 10-membered, fully unsaturated bicyclic heteroaryl ring containing up to four heteroatoms independently selected from O, N and S (but not containing any O-O, O-S or S-S bonds), and linked via a ring carbon atom in either of the rings comprising the bicyclic system;

AR3a is a partially hydrogenated version of AR3, linked via a ring carbon atom, or linked via a ring nitrogen atom if the ring is not thereby quaternised, in either of the rings comprising the bicyclic system;

AR3b is a fully hydrogenated version of AR3, linked via a ring carbon atom, or linked via a ring nitrogen atom, in either of the rings comprising the bicyclic system;

AR4 is an optionally substituted 13- or 14-membered, fully unsaturated tricyclic heteroaryl ring containing up to four heteroatoms independently selected from O, N and S (but not containing any O-O, O-S or S-S bonds), and linked via a ring carbon atom in any of the rings

comprising the tricyclic system;

AR4a is a partially hydrogenated version of AR4, linked via a ring carbon atom, or linked via a ring nitrogen atom if the ring is not thereby quaternised, in any of the rings comprising the tricyclic system;

CY1 is an optionally substituted cyclobutyl, cyclopentyl or cyclohexyl ring;

CY2 is an optionally substituted cyclopentenyl or cyclohexenyl ring;

wherein; optional substituents on AR1, AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4, AR4a, CY1 and CY2 are (on an available carbon atom) up to three substituents independently selected from (1-4C)alkyl {optionally substituted by substituents selected independently from hydroxy, trifluoromethyl, (1-4C)alkyl S(O)_Q- (q is 0, 1 or 2), (1-4C)alkoxy,

(1-4C)alkoxycarbonyl, cyano, nitro, (1-4C)alkanoylamino, -CONRvRw or -NRvRw}, trifluoromethyl, hydroxy, halo, nitro, cyano, thiol, (1-4C)alkoxy, (1-4C)alkanoyloxy, dimethylaminomethyleneaminocarbonyl, di(N-(1-4C)alkyl)aminomethylimino, carboxy, (1-4C)alkoxycarbonyl, (1-4C)alkanoyl, (1-4C)alkylSO₂amino, (2-4C)alkenyl {optionally substituted by carboxy or (1-4C)alkoxycarbonyl}, (2-4C)alkynyl, (1-4C)alkanoylamino, oxo (=O), thioxo (=S), (1-4C)alkanoylamino {the (1-4C)alkanoyl group being optionally substituted by hydroxy}, (1-4C)alkyl S(O)q- (q is 0, 1 or 2) {the (1-4C)alkyl group being optionally substituted by one or more groups independently selected from cyano, hydroxy and (1-4C)alkoxy}, -CONRvRw or -NRvRw [wherein Rv is hydrogen or (1-4C)alkyl; Rw is hydrogen or (1-4C)alkyl];

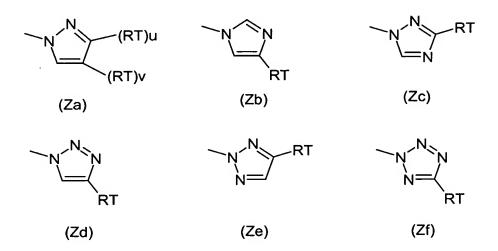
and further optional substituents on AR1, AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4, AR4a, CY1 and CY2 (on an available carbon atom), and also on alkyl groups (unless indicated otherwise) are up to three substituents independently selected from trifluoromethoxy, benzoylamino, benzoyl, phenyl {optionally substituted by up to three substituents independently selected from halo, (1-4C)alkoxy or cyano}, furan, pyrrole, pyrazole, imidazole, triazole, pyrimidine, pyridazine, pyridine, isoxazole, oxazole, isothiazole, thiazole, thiophene, hydroxyimino(1-4C)alkyl, (1-4C)alkoxyimino(1-4C)alkyl, halo-(1-4C)alkyl, (1-4C)alkoxyimino(1-4C)alkyl, Rw is hydrogen or (1-4C)alkyl; and

optional substituents on AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4 and AR4a are (on an available nitrogen atom, where such substitution does not result in quaternization) (1-4C)alkyl, (1-4C)alkanoyl {wherein the (1-4C)alkyl and (1-4C)alkanoyl groups are optionally substituted by (preferably one) substituents independently selected from cyano, hydroxy, nitro, trifluoromethyl, (1-4C)alkyl S(O)g- (q is 0, 1 or 2), (1-4C)alkoxy,

(1-4C)alkoxycarbonyl, (1-4C)alkanoylamino, -CONRvRw or -NRvRw [wherein Rv is hydrogen or (1-4C)alkyl]; Rw is hydrogen or (1-4C)alkyl], (2-4C)alkenyl, (2-4C)alkynyl,

(1-4C)alkoxycarbonyl or oxo (to form an N-oxide).

2. (Currently Amended) A compound of the formula (I) as claimed in claim 1, or a pharmaceutically-acceptable salt, or an in-vivo hydroloysable ester thereof, wherein R1b is HET1 wherein HET1 is selected from the structures (Za) to (Zf),



wherein u and v are independently 0 or 1 and RT selected from:

- (a) hydrogen;
- (b) halogen;
- (c) cyano;
- (d) (1-4C)alkyl;
- (e) monosubstituted (1-4C)alkyl;
- (f) disubstituted (1-4C)alkyl, and
- (g) trisubstituted (1-4C)alkyl.
- 3. (Currently Amended) A compound of the formula (I) as claimed in claim 1 or claim 2, or a pharmaceutically-acceptable salt, or an in-vivo hydroloysable ester thereof, wherein R4 is R4b.
- 4. (Currently Amended) A compound <u>claim 3</u> of the formula (I) as claimed in any preceding claim or a pharmaceutically-acceptable salt, or an in-vivo hydroloysable ester thereof, wherein HET-3 is selected from HET3-T, HET3-V, HET3-Y and HET-3-W.
- 5. (Currently Amended) A compound of <u>claim 4</u> the formula (I) as claimed in any preceding claim, or a pharmaceutically-acceptable salt, or an in-vivo hydroloysable ester

thereof, wherein HET-3 is selected from HET3-V and HET3-Y.

- 6. (Currently Amended) A compound of <u>claim 5</u> the formula (I) as claimed in any preceding claim, or a pharmaceutically-acceptable salt, or an in-vivo hydroloysable ester thereof, wherein R_1 a is R_1 a3.
- 7. (Currently Amended) A compound of <u>claim 6</u> the formula (I) as <u>claimed in any</u> preceding claim, or a pharmaceutically-acceptable salt, or an in-vivo hydroloysable ester thereof, wherein group C is group D.
- 8. (Currently Amended) A compound of <u>claim 6</u> the formula (I) as claimed in any preceding claim, or a pharmaceutically-acceptable salt, or an in-vivo hydroloysable ester thereof, wherein group C is group E.
- 9. CANCELLED.

$$C$$
 R_1b
(IA)

- 10. CANCELLED.
- 11 (Currently Amended) A method for producing an antibacterial effect in a warm blooded animal which comprises administering to said animal an effective amount of a compound of <u>claim 1</u> the invention as claimed in any one of claims 1 to 10, or a pharmaceutically-acceptable salt, or in-vivo hydrolysable ester thereof.
- 12. CANCELLED.
- 13. CANCELLED.
- 14. (Currently Amended) A pharmaceutical composition which comprises a compound of claim 1 the invention as claimed in any one of claims 1 to 10, or a pharmaceutically-

acceptable salt or an in-vivo hydrolysable ester thereof, and a pharmaceutically-acceptable diluent or carrier.

- 15. (Original) A process for the preparation of a compound of formula (I) as claimed in claim 1 or pharmaceutically acceptable salts or in-vivo hydrolysable esters thereof, which process comprises one of processes (a) to (i);
- a) by modifying a substituent in, or introducing a substituent into another compound of the invention:
- b) by reaction of a molecule of a compound of formula (IIa) [wherein X is a leaving group useful in palladium coupling and A is either N or C-R₃a] with a molecule of a compound of formula (IIb) (wherein X' is a leaving group useful in palladium coupling) wherein X and X' are such that an aryl-aryl, heteroaryl-aryl, or heteroaryl-heteroaryl bond replaces the aryl-X (or heteroaryl-X) and aryl-X' (or heteroaryl-X') bonds; and X and X' arechosen to be different to lead to the desired cross-coupling products of formula (I);

c) by reaction of a heterobiaryl derivative (III) carbamate with an appropriately substituted oxirane to form an oxazolidinone ring;

(d) by reaction of a compound of formula (VI):

$$X \xrightarrow{R_2 a} \xrightarrow{R_2 b} \xrightarrow{O} \xrightarrow{R_1 b}$$

(VI)

where X is a replaceable substituent with a compound of the formula (VII):

T-X' (VII)

wherein T-X' is HET1 or HET2 as herein above defined and X' is a replaceable C-linked substituent; wherein the substituents X and X' are chosen to be complementary pairs of substituents suitable as complementary substrates for coupling reactions catalysed by transition metals such as palladium(0);

(d(i)) by reaction catalysed by transition metals such as palladium(0) of a compound of formula (VIII):

wherein X is a replaceable substituent with a compound of the formula (IX);

$$H-N$$
 (IX)

(d(ii)) by reaction of a compound of formula (X):

$$X \xrightarrow{R_2 a \quad R_2 b} O \\ R_6 a \quad R_6 b$$

$$(X)$$

X is a replaceable substituent with a compound of the formula (XI):

T-H (XI)

wherein T-H is an amine R_7R_8NH , an alcohol $R_{10}OH$, or an azole with an available ring-NH group to give compounds (XIIa), (XIIb), or (XIIc) wherein in this instance A is nitrogen or C-R₃a and A' is nitrogen or carbon optionally substituted with one or more groups R1a;

$$R_7R_8N \longrightarrow R_6a \quad R_6b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_6a \quad R_6b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2a \quad R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N \longrightarrow R_2b \qquad \qquad N \longrightarrow R_1b \qquad \qquad N$$

(e) by reaction of a compound of formula (XIII):

$$X_1$$
 X_2
 R_6a
 R_6b
 R_1b
 R_1b

wherein X1 and X2 here are independently optionally substituted heteroatoms drawn in combination from O, N, and S such that C(X1)X2 constitutes a substituent that is a carboxylic acid derivative substituent with a compound of the formula (XIV) and X3 and X4 are independently optionally substituted heteroatoms drawn in combination from O, N, and S:

$$R_1 a \xrightarrow{X_3} X_4$$

and wherein one of C(X1)X2 and C(X3)X4 constitutes an optionally substituted hydrazide, thiohydrazide, or amidrazone, hydroximidate, or hydroxamidine and the other one of C(X1)X2 and C(X3)X4 constitutes an optionally substituted acylating, thioacylating, or imidoylating agent such that C(X1)X2 and C(X3)X4 may be condensed together to form a 1,2,4-heteroatom 5-membered heterocycle containing 3 heteroatoms drawn in combination from O, N, and S, for instance thiadiazole;

(e (i)) by reaction of a compound of formula (XV):

$$R_1aN$$
 R_2a
 R_2b
 R_1b
 R_6a
 R_6b
 R_1b

wherein X2 is a displaceable group with a source of azide anion to give a tetrazole (XVI);

$$R_1a$$
 R_2a
 R_2b
 R_1b
 R_6a
 R_6b
 R_1b

or nitriles of formula (XVII)

$$N = \begin{array}{c} A \\ R_2 a \quad R_2 b \\ R_6 a \quad R_6 b \end{array}$$
(XVII)

may be reacted directly with azides to give tetrazoles (XVI, R1a = H) that are subsequently alkylated with groups R1a ≠ H to give tetrazoles (XVIIIa) and (XVIIIb);

(f) by reaction of a compound of formula (XIX):

$$X_{s}$$
 X_{s}
 X_{s

with a compound of the formula (XX):

$$R \xrightarrow{X_7} X_8$$

wherein one of C(X5)X6 and C(X7)X8 constitutes an optionally substituted alpha-(leaving-group-substituted)ketone, wherein the leaving group is for example a halo-group or an (alkyl or aryl)-sulfonyloxy-group, and the other one of C(X5)X6 and C(X7)X8 constitutes an optionally substituted amide, thioamide, or amidine, such that C(X5)X6 and C(X7)X8 are groups that may be condensed together to form a 1,3-heteroatom 5-membered heterocycle containing 2 heteroatoms drawn in combination from O, N, and S, for instance thiazole;

- (g) for HET as optionally substituted 1,2,3-triazoles, compounds of the formula (I), by cycloaddition via the azide (wherein e.g. Y in (II) is azide) to acetylenes, or to acetylene equivalents such as optionally substituted cylcohexa-1,4-dienes or optionally substituted ethylenes bearing eliminatable substituents such as arylsulfonyl;
- (h) for HET as 4-substituted 1,2,3-triazole compounds of formula (I), by reacting aminomethyloxazolidinones with 1,1-dihaloketone sulfonylhydrazones;

$$\begin{array}{c|c} & & & & \\ & & & \\ \hline & & & \\ R4 & C & N \\ \hline & & & \\ \hline & & \\ R4 & C & N \\ \hline & & \\ \hline & & \\ R4 & C & N \\ \hline & & \\ \hline & & \\ R4 & C & N \\ \hline & & \\ \hline & & \\ R1 & C & N \\ \hline & & \\ \hline & & \\ R2 & C & N \\ \hline & & \\ \hline$$

(i) for HET as 4-substituted 1,2,3-triazole compounds of formula (I), by reacting azidomethyl oxazolidinones with terminal alkynes using Cu(I) to give 4-substituted 1,2,3-triazoles.

16. (Original) A process for the preparation of a compound of formula (I) as claimed in claim 1 or pharmaceutically acceptable salts or in-vivo hydrolysable esters thereof, wherein HET-1 is 4-halogenated 1,2,3-triazole comprising reacting azidomethyl oxazolidinones with halovinylsulfonyl chlorides at a temperature between 0 °C and 100 °C either neat or in an inert diluent.

$$R4 - C - N - N - M$$

$$R4 - C - N - N - M$$

$$R4 - C - N - N - M$$

$$R4 - C - N - N - M$$

$$R4 - C - N - M$$

- 17. (Original) A process according to claim 16 wherein the halovinylsulfonyl chloride is 1-chloro-1-ethenesulfonyl chloride.
- 18. The compound 1-chloro-1-ethenesulfonyl chloride.

- 19. CANCELLED.
- 20. CANCELLED.